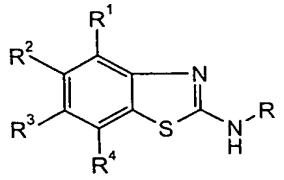
Claims

1. A process for preparation of amino substituted benzothiazole derivatives of formula I



I

wherein

R^1, R^2 and R^3 are independently from each other hydrogen, lower alkyl, lower alkoxy or halogen;

R^4 is hydrogen, lower alkyl, lower alkyloxy, halogen,
or is a five or six membered non aromatic heterocyclyl group, unsubstituted or substituted by lower alkyl or an oxo-group, or is
$-NR^5R^6$, wherein R^5 and R^5 are independently from each other hydrogen, lower alkyl, -C(O)-lower alkyl, $-(CH_2)_nO$-lower alkyl or benzyl, optionally substituted by lower alkyl, or is a
five or six membered heteroaryl group;

R^1 and R^2 or R^2 and R^3 may form together with the corresponding carbon atoms a ring containing $-O-CH_2-O-$ or $-CH=CH-CH=CH-$;

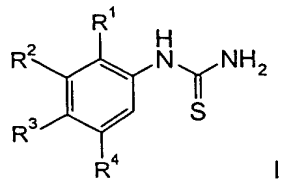
R is hydrogen or $-C(O)R'$;

R' is a five or six membered non aromatic heterocyclyl group,
five or six membered heteroaryl group or is
aryl, which rings may be substituted by the groups, selected from lower alkyl, halogen-lower alkyl, lower alkoxy, cyano, nitro, -C(O)H, -C(O)OH or by pyrrolidin-1-yl-methyl;

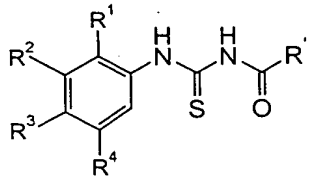
n is 1 to 4;

or a pharmaceutically acceptable salt thereof,

wherein the cyclization is carried out by the treatment of a compound of formula

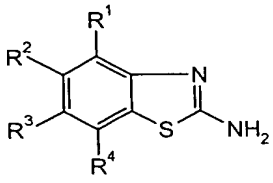


II or

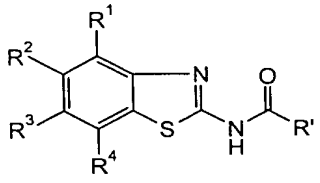


III

with sulphoxide/HBr/solvent to give the desired products of formula I for R is hydrogen (formula IA) and for R is –C(O)R' (formula IB)



I A or



IB .

2. The process in accordance with claim 1, wherein the sulphoxide is dimethyl sulphoxide.

3. The process in accordance with claim 1, wherein HBr is an *in situ* prepared bromide salt and a strong acid.

4. The process in accordance with claim 3, wherein the *in situ* prepared bromide salt and the strong acid is HBr-AcOH.

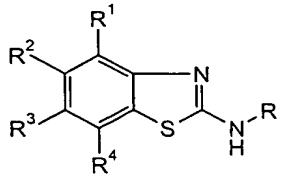
5. The process in accordance with claim 1, wherein the solvent is CH_2Cl_2, CH_3CN, THF, AcOH or EtOAc.

6. The process in accordance with claim 5, wherein the solvent is AcOH or EtOAc.

7. The process in accordance with claim 1, wherein a compound of formula II or III is suspended in a solvent and then treated with HBr and a sulphoxide.

8. The process in accordance with claim 7, wherein a compound of formula II or III is suspended in ethyl acetate or acetic acid, followed by adding hydrogen bromide in acetic acid and then adding dimethylsulfoxide.

9. A process for preparation of amino substituted benzothiazole derivatives of formula I



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R is hydrogen or –C(O)R';

R' is a five or six membered non aromatic heterocyclyl group, five or six membered heteroaryl group or is aryl, which rings may be substituted by the groups, selected from lower alkyl, halogen-lower alkyl, lower alkoxy, cyano, nitro, -C(O)H, -C(O)OH or by pyrrolidin-1-yl-methyl;

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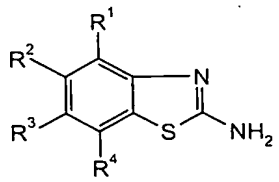
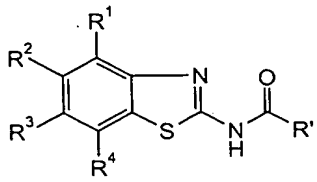
or a pharmaceutically acceptable salt thereof, comprising

dissolving a compound of formula



I A or



IB

in ethyl acetate, adding hydrogen bromide in acetic acid, and then adding dimethylsulfoxide in one portion.